TABLE 1-continued

Characterization of inventive compounds.

Compound Name	Ki, μM (FP Assay)	Ki, μM (PPIase Assay)	Covalent? (In tact MS)	Lysate Target Engagement?	Cellular Target Engagement?	Selectivity Assessment?	X-Ray Crystal Structure?
11-40	0.087						
11-41	0.151						
11-42	0.109						
11-43	0.172						
11-44	1.5						
11-45	>1						
12	>10						
13	4.43						
14	0.143						
15	>10						
18	0.02	0.048	Yes (100% labeling)	Yes-Complete engagement 500 μM	Yes-Complete engagement at 5 μM	CiTe-ID	In Progress
18-1	1.9				No		
18-2	>10				No		

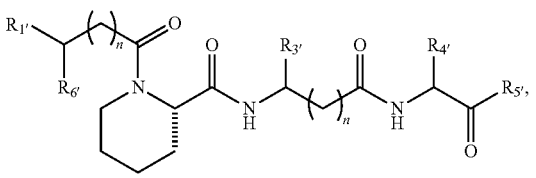
[0433] These results show that, of the compounds synthesized, peptides with an N-terminal chloroacetamide electrophile and either a glutamic acid or a phenylalanine residue in position R_1 can potently and covalently inhibit Pin1. Capping the C-terminal amide of the peptide with an ethyl ester also leads to a more favorable cell permeability profile.

[0434] All patent publications and non-patent publications are indicative of the level of skill of those skilled in the art to which this invention pertains. All these publications are herein incorporated by reference to the same extent as if each individual publication were specifically and individually indicated as being incorporated by reference.

[0435] Although the invention herein has been described with reference to particular embodiments, it is to be understood that these embodiments are merely illustrative of the principles and applications of the present invention. It is therefore to be understood that numerous modifications may be made to the illustrative embodiments and that other arrangements may be devised without departing from the spirit and scope of the present invention as defined by the appended claims.

1. A compound having a structure represented by formula (I):

(I)



wherein each n is independently 0 or 1;

R_1' is a phosphorylated alkyl, a hydroxyalkyl, a sulfone, an optionally substituted aralkyl, a carboxylic acid or an ester;

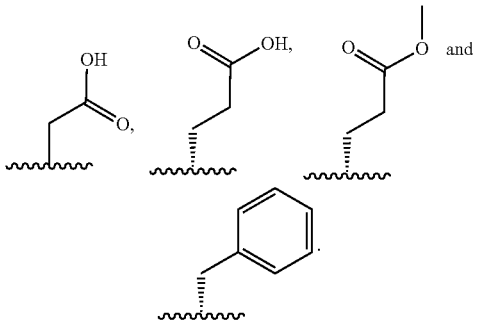
$R_{3'}$ is an optionally substituted aralkyl, a ketone or an optionally substituted heteroaralkyl;

$R_{4'}$ is an alkyl urea, an alkyl guanidine, a hydroxyalkyl, an amide, an optionally substituted heteroaralkyl or an optionally substituted aralkyl;

$R_{5'}$ is an optionally substituted N-aralkyl, an alkoxy, an optionally substituted N-methyl-aralkyl, an optionally substituted N-methyl-aryl, an optionally substituted N-aryl, an optionally substituted N-cyclyl, an optionally substituted heterocyclyl or an N-alkyl; and

$R_{6'}$ is a sulfonamide or an amide; or a pharmaceutically acceptable salt or stereoisomer thereof, wherein the compound is cell permeable and binds Pin1 with a Ki of less than 1 μM.

2. The compound of claim **1**, wherein R_1' is a phosphorylated alkyl, a hydroxyalkyl, a sulfone, an optionally substituted aralkyl, a carboxylic acid or an ester except for



3. The compound of claim **1**, wherein $R_{3'}$ is an optionally substituted aralkyl, a ketone or an optionally substituted heteroaralkyl except for